of reactant solution: 19.1~29.0% Molar concentration ratio of two reactant: [Sod. silicate]/[Mag. sulfate]:1.47~1.80 Temperature of Washing water: 45~48℃ Drying temperature: 65~82℃ The physical and chemical properties of Magnesium trisilicate as medicine were studied by use of chemical analysis and acid consuming capacitiy mesurements.

[PD1-11] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Synthesis of benzastatin derivatives as plausible antioxidants

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Oxygen is essential for life as the terminal oxidant in cell respiration except for some anaerobic microorganism. The oxygen molecule is usually stable in a normal condition, however it can be converted to the reactive species such as hydroxyl radical, hydrogen peroxide and singlet oxygen under certain chemical or physical conditions. It is well known that reactive oxygen molecule causes cell injury by destruction of cell components. For therapeutic treatment against diseases caused by oxidative damage the lipid peroxidation inhibitors with antioxidative activity and free radical scavenging activity have been used.
Recently, seven benzastatins which have been found to show inhibitory activity against glutamate toxicity and lipid peroxidation in rat liver microsomes were isolated from the culture broth of *Streptomyces Nitrosporeus* 30643. Aiming at the study of structure-activity relationship of benzastatins, we have tried to develop an efficient synthetic method. A novel synthetic process of benzastatin analogs will be presented.

[PD1-12] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Enantioselective synthetic method for 3-hydroxyflavanones: an approach to (2R, 3R)-3',4'-O-dimethyltaxifolin

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A new enantioselective synthetic method for (2R,3R)-3-hydroxyflavanone(1a) was developed via asymmetric dihydroxylation(ADH) and intramolecular Mitsunobu reaction as key reactions and the application to synthesis of (2R, 3R)-3',4'-O-dimethyltaxifolin (1b) is described. By this new synthetic method, (2R, 3R)-3',4'-O-dimethyltaxifolin was prepared from methyl 3,4-dimethoxycinnamate in seven steps(8%, 99% ee).

[PD1-13] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Synthesis of Novel 3-Alkoxy-4-oxo-1,2-benzothiazine Derivatives for COX-2 Inhibitors

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We report the synthesis of key intermediates for dimerization and several 3-alkoxy derivatives and propose a mechanism of the dehydration of alcohols. The 4-hydroxy-2H-1,2-benzothiazine-3-

carboxylic acid methyl ester 1,1-dioxide (1) for this work was prepared by the literature method. Its tautomer (2) was oxidized to 3 by silver(I) oxide. The oxidation proceeded at room temperature, and solvent purity was important to the reaction. Compounds 3 were converted to 3-methoxy-2H-4-oxo-1,2-benzothiazine-3- carboxylic acid methyl ester 1,1-dioxide (4a-c) in methanol, 3-ethoxy compound 4d in ethanol and 3-propoxy compound 4e in propanol. The unsymme- trical dimer (5) formed through the intermolecular dehydration between the 4-hydroxyl group of the enol form and the 3-hydroxyl group of the oxidized keto form are generated during the proloned reaction time. The reaction mechanism of the formation of the 3-alkoxy compound (4a-e) and the dimer (5) involves the dehydration between two alcohols.

[PD1-14] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Efficient Macrocyclization for Making Cyclic Peptide

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We can see that there are many spotlights on peptide drugs by examining the recent trend in drug development. For example, drugs like cyclosporin shows striking activity as an autoimmune suppressor. Especially, cyclic peptides stands out among all other peptides as a good drug. That is why we are trying to develop more effective cyclization process.
There are three ways to cyclize certain sequences of amino acids such as Gly-Met-Ile-Phe-Gly. First is head-to-tail cyclization method, linking between N-terminal and C-terminal. Second method utilizes amino acid side chain such as thiol functional group in Cys, making a thioether bond. The last one includes an application of resin-substituted amino acids in solid phase reaction. Among the three methods, solid phase reaction showed the greatest yield.

[PD1-15] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

Studies on the Stereoselective Synthesis of Oxazine using a Pd-catalyzed Intramolecular Cyclization

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Palladium(0)-catalyzed intramolecular cyclization of benzamide via p-allylpalladium complex is useful for the synthesis of highly functionalized compounds, particularly when chirality transfer is involved. Therefore, we investigated a new method for oxazine formation reaction catalyzed by palladium(0).
The transformation of acyclic homoallyl benzamide to vinyl oxazine was intensively studied. The stereochemistry of major product was determined to be trans by using NOE experiment.

[PD1-16] [10/20/2000 (Fri) 11:30 - 12:30 / [Hall B]]

5,8-QUINAZOLINEDIONES AS POTENT INHIBITORS OF ENDOTHELIUM-DEPENDENT VASORELAXATION

Ko KM°, Shin KH, Sun YJ, Song EH, Seo JH, Kim HJ, Ryu CK